A convenient synthesis of pyridine and 2,2'-bipyridine derivatives

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Abstract

α-Chloro-α-acetoxy-β-keto-esters **9** were readily prepared from β-keto-esters **6** in good overall yields. These compounds reacted as α,β-diketo-ester equivalents **2** with amidrazones **1** yielding triazines **3**, generally in good yields. Picolinates **10** provided an alternative source of α,β-diketo-ester equivalents **2** when treated with copper(II) acetate. A 'one-pot' reaction of the α,β-diketo-ester equivalents **2** with amidrazones **1** in the presence of 2,5-norbornadiene **5** in boiling ethanol yielded the pyridines **4** and 2,2'-bipyridines **4** (R^1=2-pyridyl) directly without the need to isolate the corresponding triazines **3**. Triazine **3c** reacted with the aza-dienophiles **13** and **17** affording the products **16** and **18**, respectively, in good yields.

Graphical abstract